

Application No.: 10/664,600

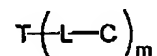
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AMENDMENTS TO THE CLAIMS

This listing of claims will replace all prior versions of claims in the application.

1. (Currently amended) A compound of the following formula:



wherein

T is a transportophore,

L is a bond or a linker having a molecular weight up to 240 dalton,

C is a non-antibiotic therapeutic agent, and

m is 1, 2, 3, 4, 5, 6, 7, or 8,

in which the transportophore has an immune selectivity ratio of at least 2, the transportophore is covalently bonded to the non-antibiotic therapeutic agent via the bond or the linker, the transportophore is an amphiphilic molecule having a pKa value of 6.5 to 9.5, and the compound has an immune selectivity ratio of at least 2.

2. (Cancelled)

3. (original) The compound of claim 1, wherein the transportophore is a cyclic or heterocyclic molecule.

4. (original) The compound of claim 3, wherein the cyclic or heterocyclic molecule has an attached sugar.

5. (Currently amended) The compound of claim 3, wherein the cyclic or ~~heterocyclic~~ heterocyclic molecule is a macrolactone or macroether.

6. (original) The compound of claim 5, wherein the macrolactone or macroether has an attached sugar.

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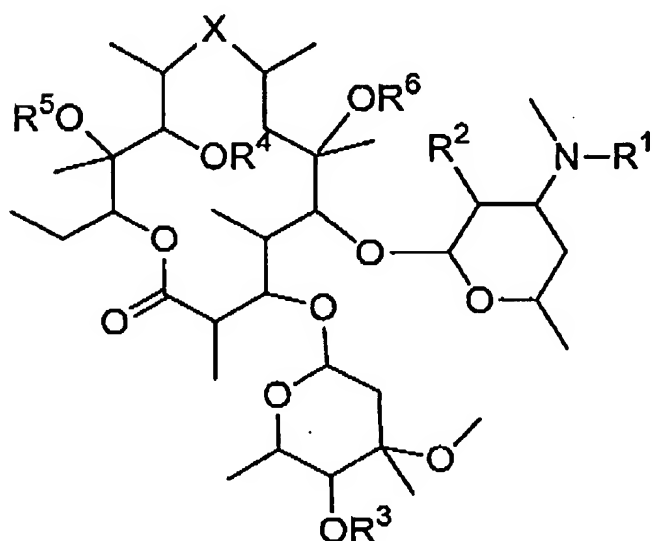
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7. (Currently amended) The compound of claim 3, wherein the cyclic or ~~heterocyclic~~ heterocyclic molecule is a macrolide or ketolide having an amino sugar.

8. (Currently amended) The compound of claim 7, wherein the cyclic or ~~heterocyclic~~ heterocyclic molecule is a macrolide having mono-, di-, or tri-basic groups.

9. (original) The compound of claim 1, wherein the compound is



wherein

$X = N(R^7)-CH_2$

$CH_2-N(R^7)$

$C(=O)$

$C(=NOR^8)$

$CH(OR^9)$

$CH(NR^{10}R^{11})$

$C(=NR^{12})$

$OC(=O)$

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 $C(=O)O$

Y = independently linker

Z = $C(=O)-$ $CH(R^{16})$ $R^1 = H$ CH_3 $(C_2-C_{10})alkyl$ $(C_1-C_{10})alkenyl$ $(C_1-C_{10})alkynyl$ $(C_1-C_8)[(C_1-C_4)alkoxy]alkyl$ $(C_1-C_8)[(C_1-C_4)alkoxy]alkenyl$ $(C_6-C_{10})aryl-(C_1-C_5)alkyl$ $(C_2-C_9)heteroaryl-(C_1-C_5)alkyl$ $(C_1-C_4)alkyliden-NR^{18}R^{19}$ $Y-R^{13}$ $C(=O)-Y-R^{15}$ $C(=O)-R^{15}$ $R^2 = H$ $(1',2'-cis)-OH$ $(1',2'-trans)-OH$ $(1',2'-cis)-OR^{15}$ $(1',2'-trans)-OR^{15}$ $(1',2'-cis)-SH$ $(1',2'-cis)-S-Y-R^{13}$ or the R^1 and R^2 bearing atoms are connected via a $-OC(=O)CHR^{16}-$ element $R^3 = H$ $C(=O)-Y-R^{15}$ $C(=O)-R^{15}$ $R^4 = H$

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$C(=O)-Y-R^{15}$
 $C(=O)-R^{15}$
 $R^5 = H$
 or R^4, R^5 are connected by Z
 $R^6 = H$
 CH_3
 $R^7 = H$
 CH_3
 $Y-R^{13}$
 $C(=O)-Y-R^{15}$
 $C(=O)-R^{15}$
 $R^8 = H$
 $Y-R^{13}$
 R^{13}
 $C(=O)-R^{17}$
 $(C_1-C_{10})alkyl$
 $(C_1-C_{10})alkenyl$
 $(C_1-C_{10})alkynyl$
 $(C_1-C_8)[(C_1-C_4)alkoxy]alkyl$
 $(C_1-C_8)[(C_1-C_4)alkoxy]alkenyl$
 $(C_6-C_{10})aryl-(C_1-C_5)alkyl$
 $(C_2-C_9)heteroaryl-(C_1-C_5)alkyl$
 $(C_1-C_4)alkyliden-NR^{18}R^{19}$

wherein alkyl, alkenyl, alkynyl, aryl, and heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, $(C_1-C_4)alkyl$, $(C_1-C_4)alkenyl$, $(C_1-C_4)alkynyl$, $(C_3-C_7)cycloalkyl$, $(C_1-C_6)heterocycloalkyl$, $(C_6-C_{10})aryl$, $(C_1-C_9)heteroaryl$, $(C_1-C_4)alkoxy$, hydroxy, nitro, cyano, azido, mercapto, $-NR^{18}R^{19}$, $R^{18}C(=O)-$, $R^{18}C(=O)O-$, $R^{18}OC(=O)O-$, $R^{18}NHC(=O)-$, $R^{18}C(=O)NH-$, $R^{18}R^{19}NC(=O)-$ and $R^{18}OC(=O)-$
 $R^9 = H$

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(C_1-C_{10}) alkyl
 (C_1-C_{10}) alkenyl
 (C_1-C_{10}) alkynyl
 $(C_1-C_8)[(C_1-C_4)$ alkoxy]alkyl
 $(C_1-C_8)[(C_1-C_4)$ alkoxy]alkenyl
 (C_6-C_{10}) aryl- (C_1-C_5) alkyl
 (C_2-C_9) heteroaryl- (C_1-C_5) alkyl

wherein alkyl, alkenyl, alkynyl, aryl, and heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C_1-C_4) alkyl, (C_1-C_4) alkenyl, (C_1-C_4) alkynyl, (C_3-C_7) cycloalkyl, (C_1-C_6) heterocycloalkyl, (C_6-C_{10}) aryl, (C_1-C_9) heteroaryl, (C_1-C_4) alkoxy, hydroxy, nitro, cyano, azido, mercapto, $-NR^{18}R^{19}$, $R^{18}C(=O)-$, $R^{18}C(=O)O-$, $R^{18}OC(=O)O-$, $R^{18}NHC(=O)-$, $R^{18}C(=O)NII-$, $R^{18}R^{19}NC(=O)-$ and $R^{18}OC(=O)-$.

$R^{10}, R^{11} =$ independently H
 (C_1-C_{10}) alkyl
 (C_1-C_{10}) alkenyl
 (C_1-C_{10}) alkynyl
 $(C_1-C_8)[(C_1-C_4)$ alkoxy]alkyl
 $(C_1-C_8)[(C_1-C_4)$ alkoxy]alkenyl
 (C_6-C_{10}) aryl- (C_1-C_5) alkyl
 (C_2-C_9) heteroaryl- (C_1-C_5) alkyl
 (C_1-C_4) alkyliden- $NR^{18}R^{19}$
 or $R^{10} = H$ and $R^{11} = -Y-R^{13}$
 $C(=O)-Y-R^{15}$, $-C(=O)-R^{15}$

$R^{12} =$ H
 (C_1-C_{10}) alkyl
 (C_1-C_{10}) alkenyl
 (C_1-C_{10}) alkynyl
 $(C_1-C_8)[(C_1-C_4)$ alkoxy]alkyl
 $(C_1-C_8)[(C_1-C_4)$ alkoxy]alkenyl

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(C₆-C₁₀)aryl-(C₁-C₅)alkyl(C₂-C₉)heteroaryl-(C₁-C₅)alkyl(C₁-C₄)alkyliden-NR¹⁸R¹⁹Y-R¹³R¹³= independently, therapeutic agentR¹⁵= independently, therapeutic agentR¹⁶= independently, HCH₃(C₂-C₁₀)alkyl(C₁-C₁₀)alkenyl(C₁-C₁₀)alkynyl(C₁-C₈)[(C₁-C₄)alkoxy]alkyl(C₁-C₈)[(C₁-C₄)alkoxy]alkenyl(C₆-C₁₀)aryl-(C₁-C₅)alkyl(C₂-C₉)heteroaryl-(C₁-C₅)alkyl(C₁-C₄)alkyliden-NR¹⁸R¹⁹Y-R¹³,R¹⁷= O-R²⁰-aryl

optionally substituted by -X'-Y- therapeutic agent, X'-therapeutic agent wherein X' is S, O,

or NII

R¹⁸, R¹⁹= independently H(C₁-C₁₀)alkyl(C₁-C₁₀)alkenyl(C₁-C₁₀)alkynyl(C₁-C₈)[(C₁-C₄)alkoxy]alkyl(C₁-C₈)[(C₁-C₄)alkoxy]alkenyl(C₆-C₁₀)aryl-(C₁-C₅)alkyl(C₂-C₉)heteroaryl-(C₁-C₅)alkylR²⁰ = independently,

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Halogen

(C₁-C₃)alkyl

NO₂

CN

OCH₃

N(CH₃)₂

N₃

SH

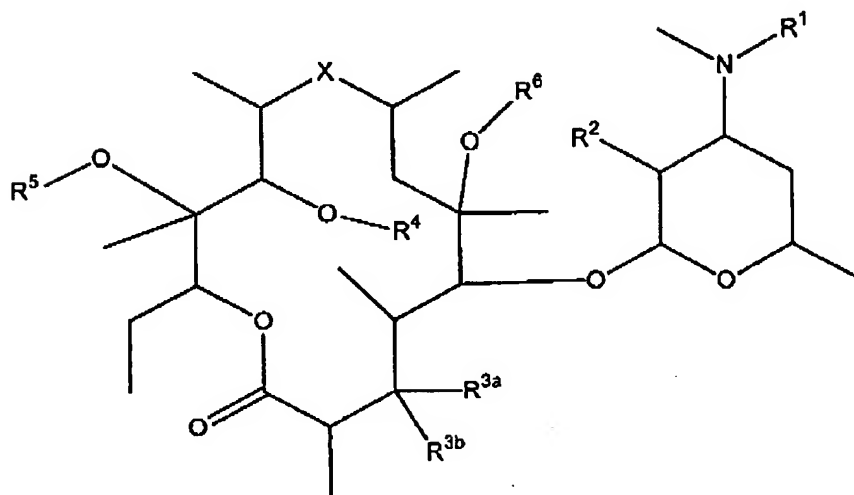
S(C₁-C₄)alkyl.

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10. (original) The compound of claim 1, wherein the compound is



wherein:

X = $N(R^7)-CH_2$ $CH_2-N(R^7)$ $C(=O)$ $C(=NOR^8)$ $CH(OR^9)$ $CH(NR^{10}R^{11})$ $C(=NR^{12})$ $OC(=O)$ $C(=O)O$

Y = independently, linker

Z = $C(=O)-$ $CH(R^{16})-$ $R^1 = H$ CH_3 $(C_2-C_{10})alkyl$

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(C_1-C_{10}) alkenyl
 (C_1-C_{10}) alkynyl
 $(C_1-C_8)[(C_1-C_4)$ alkoxy]alkyl
 $(C_1-C_8)[(C_1-C_4)$ alkoxy]alkenyl
 (C_6-C_{10}) aryl- (C_1-C_5) alkyl
 (C_2-C_9) heteroaryl- (C_1-C_5) alkyl
 (C_1-C_4) alkyliden- $NR^{18}R^{19}$
 $Y-R^{13}$
 $C(=O)-Y-R^{15}$
 $C(=O)-R^{15}$
 $S(=O)_k(C_1-C_{10})$ alkyl
 $S(=O)_k(C_1-C_{10})$ alkenyl
 $S(=O)_k(C_1-C_{10})$ alkynyl
 $S(=O)_k(C_6-C_{10})$ aryl
 $S(=O)_k(C_2-C_9)$ heteroaryl
 $S(=O)_k-Y-R^{15}$
 $S(=O)_k-R^{15}$

wherein k is 0, 1 or 2 and alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl and heteroaryl can optionally be substituted by one to three halogen, cyano, hydroxy, (C_1-C_4) alkoxy, nitro, (C_1-C_6) alkyl, (C_1-C_6) alkenyl, (C_1-C_6) alkynyl, (C_3-C_7) cycloalkyl, (C_1-C_6) heterocycloalkyl, (C_6-C_{10}) aryl, (C_1-C_9) heteroaryl, $NR^{18}R^{19}$, $R^{18}C(=O)-$, $R^{18}C(=O)O-$, $R^{18}OC(=O)-$, $R^{18}C(=O)NH-$, $R^{18}NHC(=O)-$, $R^{18}R^{19}NC(=O)-$ or $R^{18}OC(=O)-O-$

$R^2 = H$

$(1',2'-cis)-OH$
 $(1',2'-trans)-OH$
 $(1',2'-cis)-OR^{15}$
 $(1',2'-trans)-OR^{15}$
 $(1',2'-cis)-SH$
 $(1',2'-cis)-S-Y-R^{13}$

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or the R^1 and R^2 bearing atoms are connected via a $-OC(=O)CHR^{16}$ - element

$R^{3a}, R^{3b} =$ independently H

R^1

OH

OR¹¹

NR¹⁰R¹¹

or $R^{3a} = R^{3b} = (=O),$

$(=NR^1)$

$O(CH_2)_kO-$ wherein k is 2 or 3

$R^4 =$ H

$C(=O)-Y-R^{15}$

$C(=O)-R^{15}$

$R^5 =$ H

or R^4, R^5 are connected by $-Z-$

$R^6 =$ H

CH_3

$R^7 =$ H

CH_3

$Y-R^{13}$

$C(=O)-Y-R^{15}$

$C(=O)-R^{15}$

$R^8 =$ H

$Y-R^{13}$

$C(=O)-R^{17}$

$R^9 =$ H

(C_1-C_{10}) alkyl

(C_1-C_{10}) alkenyl

(C_1-C_{10}) alkynyl

$(C_1-C_8)[(C_1-C_4)alkoxy]alkyl$

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$R^{10}, R^{11} =$
 (C₁-C₈)[(C₁-C₄)alkoxy]alkenyl
 (C₆-C₁₀)aryl-(C₁-C₅)alkyl
 (C₂-C₉)heteroaryl-(C₁-C₅)alkyl
 independently H
 (C₁-C₁₀)alkyl
 (C₁-C₁₀)alkenyl
 (C₁-C₁₀)alkynyl
 (C₃-C₁₀)cycloalkyl
 (C₁-C₉)heterocycloalkyl
 (C₆-C₁₀)aryl
 (C₂-C₉)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl are optionally substituted by one to three halogen, cyano, hydroxy, (C₁-C₄)alkoxy, nitro, (C₁-C₆)alkyl, (C₁-C₆)alkenyl, (C₁-C₆)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, NR¹⁸R¹⁹, R¹⁸C(=O)-, R¹⁸C(=O)O-, R¹⁸OC(=O)-, R¹⁸C(=O)NH-, R¹⁸NHC(=O)-, R¹⁸R¹⁹NC(=O)- or R¹⁸OC(=O)-O-

or R¹⁰ = H and

R¹¹ = Y-R¹³

C(=O)-Y-R¹⁵
 C(=O)-R¹⁵
 S(=O)_k(C₁-C₁₀)alkyl
 S(=O)_k(C₁-C₁₀)alkenyl
 S(=O)_k(C₁-C₁₀)alkynyl
 S(=O)_k(C₆-C₁₀)aryl
 S(=O)_k(C₂-C₉)heteroaryl
 S(=O)_k-Y-R¹⁵
 S(=O)_k-R¹⁵

wherein k is 0, 1 or 2 and alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl and heteroaryl can be substituted as defined above.

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 $R^{12} =$ H(C₁-C₁₀)alkyl(C₁-C₁₀)alkenyl(C₁-C₁₀)alkynyl(C₁-C₈)[(C₁-C₄)alkoxy]alkyl(C₁-C₈)[(C₁-C₄)alkoxy]alkenyl(C₆-C₁₀)aryl-(C₁-C₅)alkyl(C₂-C₉)heteroaryl-(C₁-C₅)alkyl(C₁-C₄)alkyliden-NR¹⁸R¹⁹Y-R¹³ $R^{13} =$ independently, therapeutic agent $R^{15} =$ independently, therapeutic agent $R^{16} =$ independently, HCH₃(C₂-C₁₀)alkyl(C₁-C₁₀)alkenyl(C₁-C₁₀)alkynyl(C₁-C₈)[(C₁-C₄)alkoxy]alkyl(C₁-C₈)[(C₁-C₄)alkoxy]alkenyl(C₆-C₁₀)aryl-(C₁-C₅)alkyl(C₂-C₉)heteroaryl-(C₁-C₅)alkyl(C₁-C₄)alkyliden-NR¹⁸R¹⁹Y-R¹³ $R^{17} =$ O-R²⁰-aryl

optionally substituted by -X'-Y- therapeutic agent, X'- therapeutic agent wherein X' is

S, O, NH

 $R^{18}, R^{19} =$ independently H(C₁-C₁₀)alkyl(C₁-C₁₀)alkenyl

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(C₁-C₁₀)alkynyl
 (C₁-C₈)[(C₁-C₄)alkoxy]alkyl
 (C₁-C₈)[(C₁-C₄)alkoxy]alkenyl
 (C₆-C₁₀)aryl-(C₁-C₅)alkyl
 (C₂-C₉)heteroaryl-(C₁-C₅)alkyl

R²⁰ = independently,

Halogen

(C₁-C₃)alkylNO₂

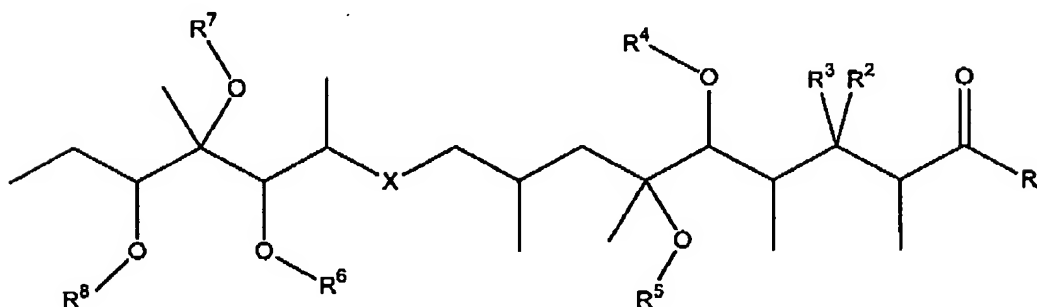
CN

OCH₃N(CH₃)₂N₃

SH

S(C₁-C₄)alkyl.

11. (original) The compound of claim 1, wherein the compound is



wherein

X = N(R⁹)-CH₂CH₂-N(R⁹)

C(=O)

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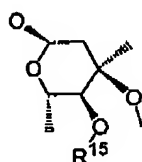
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 $C(=NOR^{10})$ $C(OR^{11})H$ $CH(NR^{12}R^{13})$ $C(=NR^{14})$ $OC(=O)$ $C(=O)O$

Y = independently, linker

 $R^1 = OR^{17}$ $NR^{17}R^{18}$,

or R^1 is connected to the oxygen bearing R^4 or R^5 forming a lactone or is connected to a suitable substituent in R^2 forming a lactone or lactam,

 $R^2 = O\text{-}2\text{-cladinosyl ()}$

H

 X' , wherein $X' = \text{halogen}$

azido

nitro

cyano

 OR^{17} OR^{22} $NR^{17}R^{18}$ $SR^{17} (C_1\text{-}C_6)\text{alkyl}$ $(C_1\text{-}C_6)\text{alkenyl}$ $(C_1\text{-}C_6)\text{alkynyl}$

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(C₃-C₁₀)cycloalkyl
 (C₁-C₉)heterocycloalkyl
 (C₆-C₁₀)aryl
 (C₁-C₉)heteroaryl

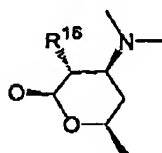
wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NHC(=O)-, R²⁰C(=O)NH-, R²⁰R²¹NC(=O)-, and R²⁰OC(=O)O-, -Y-therapeutic agent or -therapeutic agent,

R³ = H

(C₁-C₆)alkyl
 (C₁-C₆)alkenyl
 (C₁-C₆)alkynyl
 (C₃-C₁₀)cycloalkyl
 (C₁-C₉)heterocycloalkyl
 (C₆-C₁₀)aryl
 (C₁-C₉)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-

35 U.S.C. 102(b)

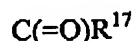
C₉)heteroaryl, (C₁-C₄)alkoxy, or R²⁰R²¹N-R⁴ = O-2-desosaminyl ()

H

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Y- therapeutic agent

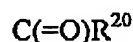
therapeutic agent

S(=O)₂R¹⁷ providing R¹⁷ is not hydrogenC(=O)NR¹⁷R¹⁸ (C₁-C₆)alkyl(C₁-C₆)alkenyl(C₁-C₆)alkynyl(C₃-C₁₀)cycloalkyl(C₁-C₉)heterocycloalkyl(C₆-C₁₀)aryl(C₁-C₉)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NHC(=O)-, R²⁰C(=O)NII-, R²⁰R²¹NC(=O)-, and R²⁰OC(=O)O-, -Y- therapeutic agent or -therapeutic agent,

or R⁴ is connected to a suitable R² containing a N or a O by -C(=O), S(=O)_n

wherein n = 1 or 2, -CR²⁰R¹⁷-, CR²⁰(-Y- therapeutic agent)-, -CR²⁰(- therapeutic agent)- forming in dependence of R² a 6 or 7-membered ring,

$$R^5 = R^{20}$$


or R⁴, R⁵ are connected by C(=O), S(=O)_n wherein n = 1 or 2, -CR²⁰R¹⁷-, CR²⁰(-Y- therapeutic agent)-, -CR²⁰(-therapeutic agent)-

R⁶, R⁸ = independently H
 (C₁-C₆)alkyl
 (C₁-C₆)alkenyl
 (C₁-C₆)alkynyl
 (C₃-C₁₀)cycloalkyl

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(C₁-C₉)heterocycloalkyl(C₆-C₁₀)aryl(C₁-C₉)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NHC(=O)-, R²⁰C(=O)NH-, R²⁰R²¹NC(=O)-, and R²⁰OC(=O)O-, -Y-therapeutic agent or -therapeutic agent, or R⁶, R⁸ = independently -C(=O)R¹⁷, -Y-therapeutic agent, -therapeutic agent, -S(=O)₂R¹⁷ providing R¹⁷ is not hydrogen, -C(=O)NR¹⁷R¹⁸,

R⁷ = H(C₁-C₆)alkyl(C₁-C₆)alkenyl(C₁-C₆)alkynyl(C₃-C₁₀)cycloalkyl(C₁-C₉)heterocycloalkyl(C₆-C₁₀)aryl(C₁-C₉)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NIIC(=O)-, R²⁰C(=O)NH-, R²⁰R²¹NC(=O)-, and R²⁰OC(=O)O-, -Y-therapeutic agent or -therapeutic agent, or two of each R⁶, R⁷, R⁸ are connected by -C(=O), S(=O)_n wherein n = 1 or 2, -CR²⁰R¹⁷-, CR²⁰(-Y-therapeutic agent)-, -CR²⁰(-therapeutic agent)-,

R⁹ = HCH₃

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Y-therapeutic agent

therapeutic agent

(C₁-C₆)alkyl(C₁-C₆)alkenyl(C₁-C₆)alkynyl,

wherein alkyl, alkenyl, alkynyl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NHC(=O)-, R²⁰C(=O)NH-, R²⁰R²¹NC(=O)-, and R²⁰OC(=O)O-,

-Y- therapeutic agent or -therapeutic agent,

R¹⁰ = C(=O)-aryl

therapeutic agent,

H

(C₁-C₆)alkyl(C₁-C₆)alkenyl(C₁-C₆)alkynyl,

wherein alkyl, alkenyl, alkynyl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NHC(=O)-, R²⁰C(=O)NH-, R²⁰R²¹NC(=O)-, and R²⁰OC(=O)O-,

-Y-therapeutic agent or - therapeutic agent

R¹¹ = H(C₁-C₆)alkyl(C₁-C₆)alkenyl(C₁-C₆)alkynyl,

wherein alkyl, alkenyl, alkynyl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-

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C_6)heterocycloalkyl, (C_6-C_{10}) aryl, (C_1-C_9) heteroaryl, (C_1-C_4) alkoxy, hydroxy, nitro, cyano, azido, mercapto, $R^{20}R^{21}N-$, $R^{20}C(=O)-$, $R^{20}C(=O)O-$, $R^{20}OC(=O)-$, $R^{20}NHC(=O)-$, $R^{20}C(=O)NH-$, $R^{20}R^{21}NC(=O)-$, $R^{20}OC(=O)O-$, -Y- therapeutic agent or -therapeutic agent, or $R^{11} = -Y-$ therapeutic agent, - therapeutic agent, $-C(=O)R^{17}$

R^{12} , $R^{13} =$ independently H
 (C_1-C_6) alkyl
 (C_1-C_6) alkenyl
 (C_1-C_6) alkynyl
 (C_3-C_{10}) cycloalkyl
 (C_1-C_9) heterocycloalkyl
 (C_6-C_{10}) aryl
 (C_1-C_9) heteroaryl,

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C_1-C_4) alkyl, (C_1-C_4) alkenyl, (C_1-C_4) alkynyl, (C_3-C_7) cycloalkyl, (C_1-C_6) heterocycloalkyl, (C_6-C_{10}) aryl, (C_1-C_9) heteroaryl, (C_1-C_4) alkoxy, hydroxy, nitro, cyano, azido, mercapto, $R^{20}R^{21}N-$, $R^{20}C(=O)-$, $R^{20}C(=O)O-$, $R^{20}OC(=O)-$, $R^{20}NHC(=O)-$, $R^{20}C(=O)NH-$, $R^{20}R^{21}NC(=O)-$, $R^{20}OC(=O)O-$, -Y- therapeutic agent or -therapeutic agent, or R^{12} , $R^{13} =$ independently $-C(=O)R^{17}$, -Y- therapeutic agent, - therapeutic agent, $-S(=O)_2R^{17}$ providing R^{17} is not hydrogen, $-C(=O)NR^{17}R^{18}$
 $R^{14} =$ therapeutic agent

H
 (C_1-C_6) alkyl
 (C_1-C_6) alkenyl
 (C_1-C_6) alkynyl
 (C_3-C_{10}) cycloalkyl
 (C_1-C_9) heterocycloalkyl
 (C_6-C_{10}) aryl
 (C_1-C_9) heteroaryl

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wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NHC(=O)-, R²⁰C(=O)NH-, R²⁰R²¹NC(=O)-, R²⁰OC(=O)O-, -Y-therapeutic agent or -therapeutic agent,

R¹⁵ = HC(=O)R¹⁷

Y- therapeutic agent,

therapeutic agent,

S(=O)₂R¹⁷ providing R¹⁷ is not hydrogenC(=O)NR¹⁷R¹⁸(C₁-C₆)alkyl(C₁-C₆)alkenyl(C₁-C₆)alkynyl(C₃-C₁₀)cycloalkyl(C₁-C₉)heterocycloalkyl(C₆-C₁₀)aryl(C₁-C₉)heteroaryl,

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NHC(=O)-, R²⁰C(=O)NH-, R²⁰R²¹NC(=O)-, and R²⁰OC(=O)O-, -Y-therapeutic agent or -therapeutic agent,

R¹⁶ = independently, HOR¹⁷OR²²R¹⁷, R¹⁸ = independently H

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(C₁-C₆)alkyl
 (C₁-C₆)alkenyl
 (C₁-C₆)alkynyl
 (C₃-C₁₀)cycloalkyl
 (C₁-C₉)heterocycloalkyl
 (C₆-C₁₀)aryl
 (C₁-C₉)heteroaryl

wherein alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl groups are optionally substituted by one to five substituents selected independently from halogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NHC(=O)-, R²⁰C(=O)NH-, R²⁰R²¹NC(=O)-, and R²⁰OC(=O)O-, -Y-therapeutic agent or -therapeutic agent,

or provided that connected to a nitrogen, R¹⁷, R¹⁸ may form a cyclic structure of 4 to 7 members (including the nitrogen). R¹⁷ and R¹⁸ then can represent a fragment from the type of -[C(AB)]_m-E_n-[C(DE)]_o-Y_p-[C(GJ)]_q wherein m, n, o, p and q independently are 0, 1, 2, 3, 4, 5, or 6, E and Y independently are -O-, -S-, -NK- and A, B, D, E, G, J, and K independently are hydrogen, (C₁-C₄)alkyl, (C₁-C₄)alkenyl, (C₁-C₄)alkynyl, (C₃-C₇)cycloalkyl, (C₁-C₆)heterocycloalkyl, (C₆-C₁₀)aryl, (C₁-C₉)heteroaryl, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, azido, mercapto, R²⁰R²¹N-, R²⁰C(=O)-, R²⁰C(=O)O-, R²⁰OC(=O)-, R²⁰NHC(=O)-, R²⁰C(=O)NH-, R²⁰R²¹NC(=O)-, and R²⁰OC(=O)O-
 R²⁰, R²¹ = independently H

(C₁-C₆)alkyl

R²² = independently, C(=O)R¹⁷

Y- therapeutic agent

therapeutic agent,

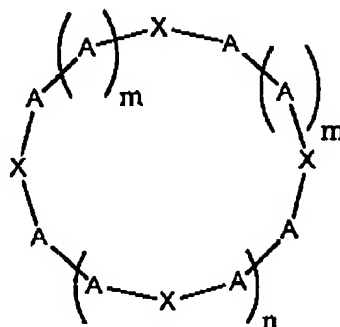
S(=O)₂R¹⁷ providing R¹⁷ is not hydrogen, -C(=O)NR¹⁷R¹⁸.

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12. (original) The compound of claim 1, wherein the compound is



wherein:

$m =$ independently, 0, 1, 2, 3

$n =$ 0 - 7

$X =$ independently, O

S

Se

NR^1

PR^1

with the proviso, that at least one $X = -\text{NR}^1-$

$A =$ independently, CH_2

CHR^2

CR^2R^3

$\text{C}(=\text{O})$

with the proviso, that at least one $X = -\text{NR}^1-$ is not an amide

$\text{R}^1 =$ independently, H

$(\text{C}_1\text{-C}_{10})$ alkyl, optionally substituted by fluoro, cyano, R^4 , $\text{R}^4\text{O}_2\text{C}$, $\text{R}^4\text{C}(=\text{O})\text{NH}$ and

$\text{R}^4\text{S}(=\text{O})_k$ wherein k is 0, 1 or 2

$\text{R}^4\text{C}(=\text{O})$, $\text{R}^4\text{S}(=\text{O})_k$ wherein k is 0, 1 or 2

$\text{R}^2, \text{R}^3 =$ independently NH_2

NHR^1

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 NR^1R^5

OH,

 OR^4 $\text{R}^4\text{C}(=\text{O})$ (C₁-C₆)alkyl(C₂-C₁₂)alkenyl(C₂-C₁₂)alkynyl(C₃-C₁₀)cycloalkyl(C₁-C₆)alkyl(C₂-C₉)heterocycloalkyl(C₁-C₆)alkyl(C₆-C₁₀)aryl(C₁-C₆)alkyl(C₂-C₉)heteroaryl(C₁-C₆)alkyl,

wherein the alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl groups are optionally substituted by one to three halo, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, $-\text{C}(=\text{O})-\text{OR}^8$, $-\text{C}(=\text{O})\text{N}(\text{H})\text{R}^8$, (C₆-C₁₀)aryl, (C₂-C₉)heteroaryl, $\text{N}^*\text{R}^5\text{R}^6\text{R}^7$ wherein * is no or a positive charge, one or two of R², R³ can be a directly coupled therapeutic agent,

 $\text{R}^4 =$ independently,NH₂NHR⁹ NR^9R^5

OH

 OR^9 (C₁-C₆)alkyl(C₂-C₁₂)alkenyl(C₂-C₁₂)alkynyl(C₃-C₁₀)cycloalkyl(C₁-C₆)alkyl(C₂-C₉)heterocycloalkyl(C₁-C₆)alkyl(C₆-C₁₀)aryl(C₁-C₆)alkyl(C₂-C₉)heteroaryl(C₁-C₆)alkyl,

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wherein the alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl groups are optionally substituted by one to three halo, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, R⁸, -C(=O)-OR⁸, -C(=O)N(H)R⁸, (C₆-C₁₀)aryl, (C₂-C₉)heteroaryl, N*R⁵R⁶R⁷ wherein * is no or a positive charge, or a therapeutic agent,

R⁵, R⁶ = independently H
 (C₁-C₆), optionally substituted by hydroxy
 (C₆-C₁₀)aryl
 (C₂-C₉)heteroaryl

R⁷ = independently,
 lone electron pair
 CH₃
 C₂H₅
 C₃H₇
 CH₂-C₆H₅

R⁸ = independently, therapeutic agent

R⁹ = independently,
 (C₁-C₆) alkyl
 (C₂-C₁₂)alkenyl
 (C₂-C₁₂)alkynyl
 (C₃-C₁₀)cycloalkyl(C₁-C₆)alkyl
 (C₂-C₉)heterocycloalkyl(C₁-C₆)alkyl
 (C₆-C₁₀)aryl(C₁-C₆)alkyl or
 (C₂-C₉)heteroaryl(C₁-C₆)alkyl,

wherein the alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, and heteroaryl groups are optionally substituted by one to three halo, (C₁-C₄)alkoxy, hydroxy, nitro, cyano, R⁸, -C(=O)-OR⁸, -C(=O)N(H)R⁸, (C₆-C₁₀)aryl, (C₂-C₉)heteroaryl, N*R⁵R⁶R⁷ wherein * is no or a positive charge, or a therapeutic agent.

13. (original) The compound of claim 1, wherein the linker is

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(C₁-C₈)alkyl,
(C₁-C₈)alkenyl,
(C₁-C₈)alkynyl,
(C₃-C₁₀)cycloalkyl,
(C₆-C₁₀)aryl,
(C₂-C₉)heteroalkyl, or
(C₂-C₉)heteroaryl,

wherein alkyl-, alkenyl, alkynyl, cycloalkyl, aryl or heteroaryl spacing elements are optionally substituted by (C₁-C₆)alkyl, 1-4 halogens, (C₁-C₄)alkoxy, (C₁-C₄)alkoxycarbonyl, hydroxy, amino, (C₁-C₄)alkylamino, (C₁-C₄)dialkylamino, (C₃-C₁₀)cycloalkyl, (C₁-C₆)alkylcarbonyloxy, (C₁-C₆)alkylcarbonylamido, (C₁-C₄)alkylamidocarbonyl, (C₁-C₄)dialkylamidocarbonyl, nitro, cyano, (C₁-C₄)alkylimino, mercapto or (C₁-C₄)alkylmercapto.

14. (original) The compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-inflammatory agent.

15. (original) The compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-infectious agent.

16. (original) The compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-cancer agent.

17. (original) The compound of claim 1, wherein the non-antibiotic therapeutic agent is an allergy-suppressive agent.

18. (original) The compound of claim 1, wherein the non-antibiotic therapeutic agent is an immune-suppressant agent.

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19. (original) The compound of claim 1, wherein the non-antibiotic therapeutic agent is an agent for treating a hematopoietic disorder.

20. (original) The compound of claim 1, wherein the non-antibiotic therapeutic agent is an agent for treating a metabolic disease.

21. (original) A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier.

22. (original) A method of treating an inflammatory disorder, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-inflammatory agent.

23. (original) A method of treating an infectious disease, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-infectious agent.

24. (original) A method of treating cancer, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an anti-cancer agent.

25. (original) A method of treating allergy, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an allergy-suppressive agent.

26. (original) A method of treating an immune disorder, comprising administering to a subject in need thereof an effective amount of a compound of claim 1, wherein the non-antibiotic therapeutic agent is an immune-suppressant agent.